

(12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property  
Organization  
International Bureau



(43) International Publication Date  
13 January 2005 (13.01.2005)

PCT

(10) International Publication Number  
**WO 2005/003103 A3**

(51) International Patent Classification<sup>7</sup>: **A61K 31/53**,  
C07D 251/48, 251/54, 251/52, 239/48, 401/12, 403/12,  
401/14, 417/14, A61K 31/505, 31/506, 31/4427, A61P  
25/28

AstraZeneca R & D Wilmington, 1800 Concord Pike,  
Wilmington, Delaware 19850-5437 (US).

(21) International Application Number:

PCT/GB2004/002723

(22) International Filing Date: 24 June 2004 (24.06.2004)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:  
60/484,250 30 June 2003 (30.06.2003) US

(71) Applicant (for all designated States except MG, US): AS-  
TRAZENECA AB [SE/SE]; S-151 85 Södertälje (SE).

(71) Applicant (for MG only): ASTRAZENECA UK LIM-  
ITED [GB/GB]; 15 Stanhope Gate, London Greater Lon-  
don W1K 1LN (GB).

(72) Inventors; and

(75) Inventors/Applicants (for US only): **BROWN, Dean**  
[US/US]; AstraZeneca R & D Wilmington, 1800 Concord  
Pike, Wilmington, Delaware 19850-5437 (US). **CACCI-**  
**OLA, Joseph** [US/US]; AstraZeneca R & D Wilmington,  
1800 Concord Pike, Wilmington, Delaware 19850-5437  
(US). **JACOBS, Robert, T** [US/US]; AstraZeneca R & D  
Wilmington, 1800 Concord Pike, Wilmington, Delaware  
19850-5437 (US). **MCLAREN, Frances, M** [US/US];  
AstraZeneca R & D Wilmington, 1800 Concord Pike,  
Wilmington, Delaware 19850-5437 (US). **SHENVIL,**  
**Ashokkumar, Bhikkappa** [US/US]; AstraZeneca R & D  
Wilmington, 1800 Concord Pike, Wilmington, Delaware  
19850-5437 (US). **SMITH, Reed, W, Jr** [US/US];

(74) Agent: GLOBAL INTELLECTUAL PROPERTY; As-  
traZeneca AB, S-151 85 Södertälje (SE).

(81) Designated States (unless otherwise indicated, for every  
kind of national protection available): AE, AG, AL, AM,  
AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN,  
CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI,  
GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE,  
KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD,  
MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG,  
PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM,  
TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM,  
ZW.

(84) Designated States (unless otherwise indicated, for every  
kind of regional protection available): ARIPO (BW, GH,  
GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM,  
ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM),  
European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI,  
FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI,  
SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ,  
GW, ML, MR, NE, SN, TD, TG).

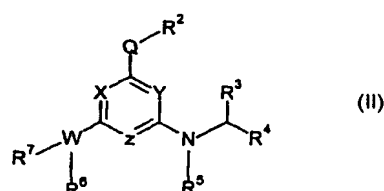
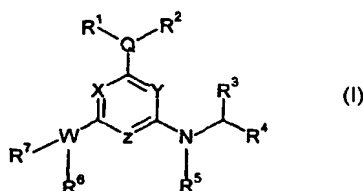
Published:

- with international search report
- before the expiration of the time limit for amending the  
claims and to be republished in the event of receipt of  
amendments

(88) Date of publication of the international search report:  
3 November 2005

For two-letter codes and other abbreviations, refer to the "Guid-  
ance Notes on Codes and Abbreviations" appearing at the begin-  
ning of each regular issue of the PCT Gazette.

(54) Title: 2, 4, 6-TRI-SUBSTITUTED 6-MEMBERED HETEROCYCLES AND THEIR USE IN THE TREATMENT OF NEU-  
RODEGENERATIVE DISEASES



(57) Abstract: Compounds of formula (I) and (II); their pharmaceutical compositions and methods of use for the treatment of neu-  
rological disorders related to amyloid  $\beta$  protein production such as Alzheimer's disease.